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APPL PARTS

IMIS _____
Internal Misc. Paper
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Misc. Incoming Letter

371P _____
PCT Papers in a 371 Application

A... _____
Amendment Including Elections

ABST _____
Abstract

ADS _____
Application Data Sheet

AF/D _____
Affidavit or Exhibit Received

APPENDIX _____
Appendix

ARTIFACT _____
Artifact

BIB _____
Bib Data Sheet
15/03/02 CLM 12
Claim

COMPUTER _____
Computer Program Listing

CRFL _____
All CRF Papers for Backfile

DIST _____
Terminal Disclaimer Filed

DRW _____
Drawings

FOR _____
Foreign Reference

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Foreign Priority Papers

IDS _____
IDS Including 1449

NPL _____
Non-Patent Literature

OATH _____
Oath or Declaration

PET. _____
Petition

RETMAIL _____
Mail Returned by USPS

SEQLIST _____
Sequence Listing

SPEC _____
Specification

SPEC NO _____
Specification Not in English

TRNA _____
Transmittal New Application

CTNF _____
Count Non-Final

CTRS _____
Count Restriction

EXIN _____
Examiner Interview

M903 _____
DO/EO Acceptance

M905 _____
DO/EO Missing Requirement

NFDR _____
Formal Drawing Required

NOA _____
Notice of Allowance

PETDEC _____
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OUTGOING

CTMS _____
Misc. Office Action

1449 _____
Signed 1449

892 _____
892

ABN _____
Abandonment

APDEC _____
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APEA _____
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CTAV _____
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CTEQ _____
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CTFR _____
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INCOMING

AP.B _____
Appeal Brief

C.AD _____
Change of Address

N/AP _____
Notice of Appeal

PA.. _____
Change in Power of Attorney

REM _____
Applicant Remarks in Amendment

XT/ _____
Extension of Time filed separate

Int rnal

SRNT _____
Examiner Search Notes

CLMPTO _____
PTO Prepared Complete Claim Set

ECBOX _____
Evidence Copy Box Identification

WCLM _____
Claim Worksheet

WFEE _____
Fee Worksheet

File Wrapper

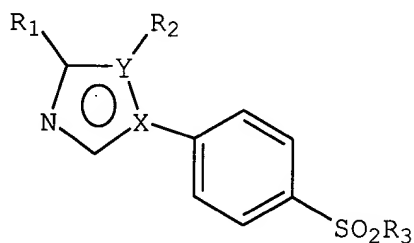
FWCLM _____
File Wrapper Claim

IIFW _____
File Wrapper Issue Information

SFRW _____
File Wrapper Search Info

Clean Copy of Amended Claims:

1. (Amended) A compound of formula I:



I .

wherein:

one of X or Y represents N and the other represents C;

R₁ represents hydrogen, methyl, halogen, cyano, nitro, -CHO, -COCH₃ or -COOR₄;

R₂ represents aryl or heteroaryl unsubstituted or substituted with one or more groups independently selected from halogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, R₄OC₀₋₈ alkyl, R₄SC₀₋₈ alkyl, cyano, nitro, -NR₄R₆, -NR₄SO₂R₅, -SOR₅, -SO₂R₅, -SO₂NR₄R₆, or -CONR₄R₆;

R₃ represents C₁₋₈ alkyl, C₁₋₈ haloalkyl or -NR₄R₆;

R₄ represents hydrogen, C₁₋₈ alkyl, or arylC₀₋₈ alkyl (where the aryl group can be unsubstituted or substituted with one or more groups selected from C₁₋₈ alkyl, halogen, C₁₋₈ haloalkyl, cyano, nitro, R₇OC₀₋₈ alkyl, R₇SC₀₋₈ alkyl, -NR₇R₈, -NR₇COR₅, -COR₇ or -COOR₇);

R₅ represents C₁₋₈ alkyl or C₁₋₈ haloalkyl;

R₆ represents hydrogen, C₁₋₈ alkyl, arylC₁₋₈ alkyl (where the aryl group can be unsubstituted or substituted with one or more groups selected from C₁₋₈ alkyl, halogen, C₁₋₈ haloalkyl, cyano, nitro,

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cont
R₇OC₀₋₈ alkyl, R₇SC₀₋₈ alkyl, -NR₇R₈, -NR₇COR₅, -COR₇ or -COOR₇), -COR₈ or -COOR₈;

R₇ represents hydrogen, C₁₋₈ alkyl or benzyl;

R₈ represents C₁₋₈ alkyl or C₁₋₈ haloalkyl;

aryl in the above definitions represents phenyl or naphthyl; and heteroaryl in the above definitions represents pyridine, pyrazine, pyrimidine or pyridazine, which can be optionally fused to a benzene ring;

or a salt, solvate or prodrug thereof.

a2
4. (Amended) A compound according to claim 1 wherein R₂ represents phenyl or pyridine unsubstituted or substituted with one or more groups independently selected from halogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, R₄OC₀₋₈ alkyl, R₄SC₀₋₈ alkyl, cyano, nitro, -NR₄R₆, -NR₄SO₂R₅, -SOR₅, -SO₂R₅, -SO₂NR₄R₆, or -CONR₄R₆.

10. (Amended) A compound according to claim 9 wherein R₂ represents phenyl or pyridine unsubstituted or substituted with one or more groups independently selected from halogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, R₄OC₀₋₈ alkyl, R₄SC₀₋₈ alkyl, cyano, nitro, -NR₄R₆, -NR₄SO₂R₅, -SOR₅, -SO₂R₅, -SO₂NR₄R₆, or -CONR₄R₆.

a3
11. (Amended) A compound according to claim 1 selected from:

5-(4-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(2,4-difluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

1-(4-methylsulfonylphenyl)-5-phenylimidazole;

5-(3,4-dichlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(3-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(3-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(3-fluoro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(2-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-methylsulfonylphenyl)-5-(4-trifluoromethoxyphenyl)imidazole;
5-(6-methyl-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(2-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(3-chloro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(3-methoxy-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-chlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(6-chloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(2,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(2-chloro-6-methoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(5,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-methylsulfonylphenyl)-5-(4-propoxyphenyl)imidazole;
5-(3,5-diethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-ethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-methylsulfonylphenyl)-5-(4-nitrophenyl)imidazole;
5-(4-methylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-ethylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazole;
5-(4-fluorophenyl)-4-methyl-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2,4-difluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-phenylimidazole;
4-chloro-5-(3,4-dichlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;

A3
cont


4-chloro-5-(3-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-fluoro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-(4-trifluoromethoxyphenyl)imidazole;
4-chloro-5-(6-methyl-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-chloro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-methoxy-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-chlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(6-chloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2-chloro-6-methoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(5,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-(4-propoxyphenyl)imidazole;
4-chloro-5-(3,5-diethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-ethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-(4-nitrophenyl)imidazole;

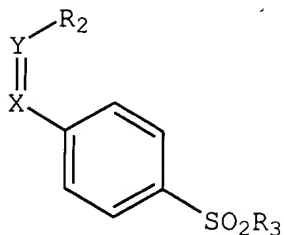
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4-chloro-5-(4-methylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-ethylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(6-ethoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-bromo-5-(4-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-fluorophenyl)-2-methyl-5-(4-methylsulfonylphenyl)imidazole;
2-chloro-1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazole;
1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazol-2-carboxaldehyde;
methyl 1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazol-2-carboxylate;
2-bromo-1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazole;
1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazol-2-carbonitrile;
2-chloro-5-(4-methylsulfonylphenyl)-1-phenylimidazole;
2-chloro-1-(4-methylphenyl)-5-(4-methylsulfonylphenyl)imidazole;
4-[4-chloro-5-(4-fluorophenyl)imidazol-1-yl]benzenesulfonamide;
4-(4-chloro-5-phenylimidazol-1-yl)benzenesulfonamide;
4-[4-chloro-5-(3,4-dichlorophenyl)imidazol-1-yl]benzenesulfonamide;
4-[4-chloro-5-(4-methylphenyl)imidazol-1-yl]benzenesulfonamide;
4-[4-chloro-5-(4-ethoxyphenyl)imidazol-1-yl]benzenesulfonamide;
4-[4-chloro-5-(3-fluoro-4-methoxyphenyl)imidazol-1-yl]benzenesulfonamide;
4-[4-chloro-5-(6-chloro-3-pyridyl)imidazol-1-yl]benzenesulfonamide;
4-[5-(4-fluorophenyl)imidazol-1-yl]benzenesulfonamide;
5-(4-aminophenyl)-4-chloro-1-(4-methylsulfonylphenyl)imidazole;
5-(6-ethoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;

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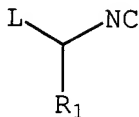
4-chloro-5-(4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(3-chloro-4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-chloro-4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-acetylaminophenyl)-4-chloro-1-(4-methylsulfonylphenyl)imidazole;
5-(4-ethylsulfinylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-ethylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
a salt thereof;
a solvate thereof; and
a prodrug thereof.

 12. (Amended) A process for preparing a compound of formula I according to claim 1 which comprises:
(a) when in a compound of formula I R_1 represents hydrogen or methyl, reacting an imine of formula II



II

wherein X, Y, R₂ and R₃ are as defined in claim 1, with an isocyanide of formula III

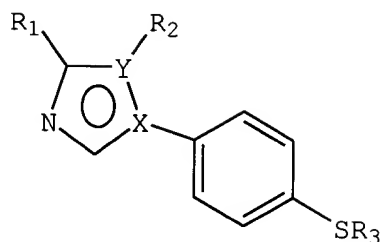


III

wherein R₁ represents hydrogen or methyl and L represents a leaving group; or

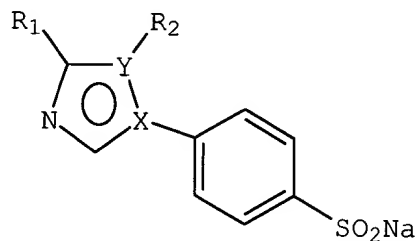
(b) when in a compound of formula I R₃ represents C₁₋₈ alkyl or C₁₋₈ haloalkyl, oxidizing a thioether of formula VIII,

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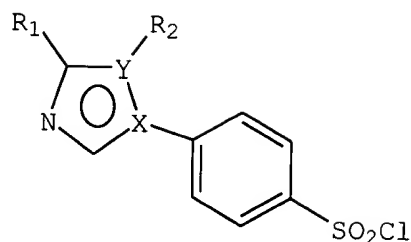
VIII

wherein R_3 represents C_{1-8} alkyl or C_{1-8} haloalkyl and X , Y , R_1 and R_2 are as defined in claim 1, with an oxidizing agent; or
(c) when in a compound of formula I R_3 represents $-NH_2$, reacting a compound of formula IX



IX

wherein X , Y , R_1 and R_2 are as defined in claim 1, with hydroxylamine- O -sulfonic acid; or
(d) when in a compound of formula I R_3 represents $-NR_4R_6$, reacting a compound of formula XI



XI

wherein X, Y, R_1 and R_2 are as defined in claim 1, with an amine of formula HNR_4R_6 ; or

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concl (e) when in a compound of formula I R_1 represents halogen and X represents N, reacting a compound of formula I wherein R_1 represents hydrogen with a halogenating agent; or

(f) when in a compound of formula I R_1 represents halogen and Y represents N, reacting a compound of formula I wherein R_1 represents hydrogen with a strong base and a halogenating agent; or

(g) converting a compound of formula I into another compound of formula I.

Added Claims:

23. A process for preparing a salt of a compound of formula I according to claim 1 which comprises reacting a compound of formula I with an acid to give the corresponding acid addition salt.

Q4 24. A method of treating or preventing a disease mediated by cyclooxygenase in a mammal in need thereof, which comprises administering to said mammal a therapeutically effective amount

of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof.

25. A method in accordance with claim 24, wherein said mammal is a human.

26. A method of treating or preventing a disease mediated by cyclooxygenase-2 in a mammal in need thereof, which comprises administering to said mammal a therapeutically effective amount of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof.

27. A method in accordance with claim 26, wherein said mammal is a human.

all
cont

28. A method of treating inflammation, pain or fever in a mammal in need thereof, which comprises administering to said mammal a therapeutically effective amount of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof.

29. A method in accordance with claim 28, wherein said mammal is a human.

30. A method for inhibiting prostanoid-induced smooth muscle contraction in a mammal in need thereof, which comprises administering to said mammal a therapeutically effective amount of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof.

31. A method in accordance with claim 30, wherein said mammal is a human.

32. A method of treating or preventing dysmenorrhea, preterm labor, asthma or bronchitis in a mammal in need thereof, which comprises administering to said mammal a therapeutically effective amount of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof.

33. A method in accordance with claim 32, wherein said mammal is a human.

34. A method of treating or preventing cancer in a mammal in need thereof, which comprises administering to said mammal a therapeutically effective amount of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof.

35. A method in accordance with claim 33, wherein said mammal is a human.

36. A method according to claim 34 or 35, wherein said cancer is a gastrointestinal cancer.

37. A method according to claim 36, wherein said cancer is colon cancer.

38. A method of treating or preventing cerebral infarction, epilepsy, or a neurodegenerative disease in a mammal in need thereof, which comprises administering to said mammal a